

Applicants agreed to amend claim 53 to remove multiple dependency and to shorten the abstract as set forth in the Examiner's amendment.

An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

Authorization for this examiner's amendment was given in a telephone interview with Susan Mack on 3/24/2010.

An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

The abstract was deleted and replaced by the following:

ABSTRACT OF THE DISCLOSURE

This invention relates to combinatorial libraries of potentially biologically active mainly monosaccharide compounds and to methods of preparing same. These compounds are variously functionalized, with a view to varying lipid solubility, size, function and other properties, with the particular aim of discovering a drug or drug-like compound, or compounds with useful properties. The invention provides intermediates, processes and synthetic strategies for the solution or solid phase synthesis of monosaccharides, variously functionalized about the sugar ring, including the addition of aromaticity and charge, and the placement of amino acid and peptide side chain units of isosteres thereof.

Claim 53 was amended to read as follows:

53. (Amended) A method of solution phase combinatorial synthesis of
compounds of formula I

Being a modified monosaccharide, wherein,
n is 0 or 1;

R1 is selected from the group consisting of hydrogen or -N(Z)Y wherein;

Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Z)Y;

Z is selected from hydrogen or W;
Q is selected from hydrogen or W;
the groups W are independently selected from the group consisting of:
a) a substituted or unsubstituted alkyl moiety of 1 to 20 atoms;
b) a substituted or unsubstituted alkenyl, or alkynyl moiety of 2 to 20 atoms;
c) a substituted or unsubstituted heteroalkyl moiety of 2 to 20 atoms in which the hetero atoms are selected from the group consisting of N, O and S;
d) a substituted or unsubstituted monocyclic or bicyclic aryl moiety of 6 to 12 carbon atoms;
e) a substituted or unsubstituted monocyclic or bicyclic heteroaryl moiety of 5 to 20 atoms, containing 1 to 4 hetero atoms selected from the group N, O and S;
f) an arylalkyl moiety of 6 to 20 atoms comprising at least 1 substituted or unsubstituted monocyclic or bicyclic aryl moiety and a substituted or unsubstituted alkyl moiety;
g) an heteroarylalkyl moiety of 5 to 20 atoms comprising a substituted or unsubstituted monocyclic or bicyclic heteroaryl moiety containing 1 to 4 hetero atoms selected from the group N, O and S, and a substituted or unsubstituted alkyl moiety;
the groups R2, R3, R4 and R5 are independently selected from -OH, -OW and -N(Z)Y wherein at least one of the groups R2, R3, and R4 is -N(Z)Y, and at least one of the groups R2, R3, R4 and R5 is -OW and at least one of the groups R2, R3, R4 and R5 is -OH,

Z and Y optionally combine with N to form a ring, such that where more than one of the groups R2, R3, R4 and R5 is OW, each instance of OW is different, comprising the step of alkylating a free hydroxyl on a compound of formula IV

formula IV

wherein

R1 is selected from the group consisting of hydrogen and -N(Z)Y

wherein;

When R1 is -N(Z)Y, then:

Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Z)Y;

Z is selected from hydrogen or W;
Q is selected from hydrogen or W;
the groups W are independently selected from the group consisting of:
a) a substituted or unsubstituted alkyl moiety of 1 to 20 atoms;
b) a substituted or unsubstituted alkenyl, or alkynyl moiety of 2 to 20 atoms;
c) a substituted or unsubstituted heteroalkyl moiety of 2 to 20 atoms in which the hetero atoms are selected from the group consisting of N, O and S;
d) a substituted or unsubstituted monocyclic or bicyclic aryl moiety of 6 to 12 carbon atoms;

e) a substituted or unsubstituted monocyclic or bicyclic heteroaryl moiety of 5 to 20 atoms, containing 1 to 4 hetero atoms selected from the group N, O and S;

f) an arylalkyl moiety of 6 to 20 atoms comprising at least 1 substituted or unsubstituted monocyclic or bicyclic aryl moiety and a substituted or unsubstituted alkyl moiety;

g) an heteroarylalkyl moiety of 5 to 20 atoms comprising a substituted or unsubstituted monocyclic or bicyclic heteroaryl moiety containing 1 to 4 hetero atoms selected from the group N, O and S, and a substituted or unsubstituted alkyl moiety;

R5, R4, R3, and R2 are selected from the group consisting of OH, O-acyl, N3, NHDde, NHDTPM, NHZ, NHBOC, phthalimide, N(Z)Y and an O-protecting group.

or formula VI

Wherein R1 is selected from the group consisting of hydrogen and -N(Z)Y wherein;

When R1 is -N(Z)Y, then:

Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Z)Y;

Wherein R1 is selected from the group consisting of hydrogen and -N(Z)Y wherein;

When R1 is -N(Z)Y, then:

Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Z)Y;

Z is selected from hydrogen or W; and

Q is selected from hydrogen or W.

the groups W are independently selected from the group consisting of:

a) a substituted or unsubstituted alkyl moiety of 1 to 20 atoms;

b) a substituted or unsubstituted alkenyl, or alkynyl moiety of 2 to 20 atoms;

c) a substituted or unsubstituted heteroalkyl moiety of 2 to 20 atoms in which the hetero atoms are selected from the group consisting of N, O and S;

d) a substituted or unsubstituted monocyclic or bicyclic aryl moiety of 6 to 12 carbon atoms;

e) a substituted or unsubstituted monocyclic or bicyclic heteroaryl moiety of 5 to 20 atoms, containing 1 to 4 hetero atoms selected from the group N, O and S;

f) an arylalkyl moiety of 6 to 20 atoms comprising at least 1 substituted or unsubstituted monocyclic or bicyclic aryl moiety and a substituted or unsubstituted alkyl moiety;

g) an heteroarylalkyl moiety of 5 to 20 atoms comprising a substituted

Art Unit: 1625

or unsubstituted monocyclic or bicyclic heteroaryl moiety containing 1 to 4 hetero atoms selected from the group N, O and S, and a substituted or unsubstituted alkyl moiety; and

R4, R3, and R2 are selected from the group consisting of OH, O-acyl, N3, NHDde, NHDTPM, NHZ, NHBOC, phthalimide, OX2, N(T)Y and O-protecting group.

/Janet L. Andres/

Supervisory Patent Examiner, Art Unit 1625